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Ring-Constrained (N)-methanocarba nucleosides as adenosine receptor agonists: independent 5'-uronamide and 2'-deoxy modifications.

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Novel methanocarba adenosine analogues, having the pseudo-ribose northern (N) conformation preferred at adenosine receptors (ARs), were synthesized a tested in binding assays. The 5'-uronamide modification preserved [N6-(3-iodobenzyl)] or enhanced (N6-methyl) affinity at A3ARs, while the 2'-deoxy modification reduced affinity and efficacy in a functional assay.

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Ring-Constrained (N)-Methanocarba nucleosides as adenosine receptor agonists: independent 5'-Uronamide and 2'-deoxy modifications

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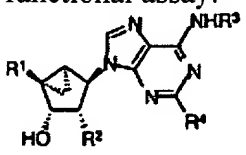
Abstract

Novel methanocarba adenosine analogues, having the pseudo-ribose northern (N) conformation preferred at adenosine receptors (ARs), were synthesized and tested in binding assays. The 5'-uronamide modification preserved [N^6-(3-iodobenzyl)] or enhanced (N^6-methyl) affinity at A_3ARs, while the 2'-deoxy modification reduced affinity and efficacy in a functional assay.

Graphical Abstract

Novel methanocarba adenosine analogues, having the pseudo-ribose northern (N) conformation preferred at adenosine receptors (ARs), were synthesized and testing in binding assays. The 5'-uronamide modification preserved [N^6-(3-iodobenzyl)] or enhanced (N^6-methyl) affinity at A_3ARs, while the 2'-deoxy modification reduced affinity and efficacy in a functional assay.



R1 = CH_2OH, CONH-alkyl
R2 = OH, H
R3 = H, CH_3, cyclopentyl, 3-I-benzyl
R4 = H, Cl

h c e ce ec c c e ce b c e c e

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